### (19) World Intellectual Property **Organization**

International Bureau



# 

(43) International Publication Date 1 September 2005 (01.09.2005)

**PCT** 

### (10) International Publication Number WO 2005/079800 A1

- A61K 31/496, (51) International Patent Classification<sup>7</sup>: 31/506, A61P 21/00, C07D 239/48
- (21) International Application Number:

PCT/GB2005/000497

- (22) International Filing Date: 11 February 2005 (11.02.2005)
- (25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

0403155.5

12 February 2004 (12.02.2004) GB

- (71) Applicant (for all designated States except US): VER-NALIS (R & D) LTD. [GB/GB]; Oakdene Court, 613 Reading Road, Winnersh, Wokingham, Berkshire RG41 5UA (GB).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): GILLESPIE, Roger, John [GB/GB]; Vernalis (R & D) Ltd, Oakdene Court, 613 Reading Road, Winnersh, Wokingham RG41 5UA (GB). WILLIAMSON, Douglas, Stewart [GB/GB]; Vernalis (R & D) Ltd, Oakdene Court, 613 Reading Road, Winnersh, Wokingham, Berkshire RG41 5UA (GB).

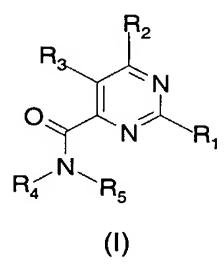
- (74) Agent: WALLS, Alan, J.; Vernalis (R & D) Ltd, Oakdene Court, 613 Reading Road, Winnersh, Wokingham, Berkshire RG41 5UA (GB).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### **Published:**

with international search report

[Continued on next page]

(54) Title: PYRIMIDINE COMPOUNDS AS PURINE RECEPTOR ANTAGONIST



(57) Abstract: Compounds of formula (1); wherein  $R_1$  is optionally substituted  $C_1$ - $C_3$ alkyl  $C_2$ - $C_3$ alkenyl, or  $C_2$ - $C_3$ alkynyl, or -NR<sub>6</sub>R<sub>1</sub>, -ORB, -SR<sub>9</sub> or halogen; R<sub>3</sub> is H; optionally substituted C,-Csalkyl, C<sub>2</sub>-C<sub>6</sub>alkenyl, C<sub>2</sub>C<sub>6</sub>alkynyl, or C<sub>3</sub>-C<sub>7</sub> cycloalkyl, halogen; OH or OR<sub>10</sub>; R<sub>4</sub> is H, optionally substituted C<sub>1</sub>C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>6</sub>alkenyl, C<sub>3</sub>-C<sub>6</sub>alkynyl, C<sub>3</sub>-C<sub>7</sub> cycloalkyl, aryl or heteroaryl, R<sub>5</sub> is H or Optionally substituted C<sub>1</sub>-C<sub>6</sub>alkyl, C<sub>3</sub>-C<sub>6</sub>alkenyl, C<sub>3</sub>-C<sub>6</sub>alkynyl, or C<sub>3</sub>-C<sub>7</sub> cycloalkyl; or R<sub>4</sub> and R<sub>5</sub> together form a 5 or 6-membered heterocyclic ring; and R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub> and R<sub>10</sub> are optionally substituted C<sub>1</sub>-C<sub>3</sub>alkyl, C<sub>2</sub>-C<sub>3</sub>alkenyl, C<sub>2</sub>-C<sub>3</sub>alkynyl, or C<sub>3</sub>-C<sub>7</sub> cycloalkyl; are purine receptor, particularly adenosine receptor antagonists, useful for treatment of, inter alia, movement disorders such as Parkinsons disease.



## WO 2005/079800 A1



— before the expiration of the time limit for amending the claims and to be republished in the event of receipt of amendments

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.